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=> s 175865-59-5  
L1 1 175865-59-5  
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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

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44 L1  
320031 AMORPHOUS  
5 AMORPHOUSES  
320035 AMORPHOUS  
    (AMORPHOUS OR AMORPHOUSES)  
1405 NONCRYSTALLINE  
1 NONCRYSTALLINES  
1405 NONCRYSTALLINE  
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9547 NONCRYST  
1 NONCRYSTS  
9548 NONCRYST  
    (NONCRYST OR NONCRYSTS)  
9901 NONCRYSTALLINE  
    (NONCRYSTALLINE OR NONCRYST)  
1201001 NON  
39 NONS  
1201031 NON  
    (NON OR NONS)  
97401 CRYSTALLINE  
339 CRYSTALLINES  
97711 CRYSTALLINE  
    (CRYSTALLINE OR CRYSTALLINES)  
415300 CRYST  
1805 CRYSTS  
416572 CRYST  
    (CRYST OR CRYSTS)  
450890 CRYSTALLINE  
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3796 NON-CRYSTALLINE  
    (NON (W) CRYSTALLINE)  
112 UNCRYSTALLIZED  
369 UNCRYSTD  
479 UNCRYSTALLIZED  
    (UNCRYSTALLIZED OR UNCRYSTD)  
6 UNCRYSTALLISED  
369 UNCRYSTD  
375 UNCRYSTALLISED  
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L2 7 L1 AND (AMORPHOUS OR NONCRYSTALLINE OR NON-CRYSTALLINE OR UNCRYSTALLIZED OR UNCRYSTALLISED)

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- L2 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of amorphous valganciclovir hydrochloride
- AB The present application relates to processes for the preparation amorphous valganciclovir hydrochloride, comprising combining a solution of valganciclovir with an antisolvent.
- ST amorphous valganciclovir hydrochloride prepn
- IT Antisolvents
- Crystal morphology
- Drying
- Milling (size reduction)
- Solvents
- (preparation of amorphous valganciclovir hydrochloride)
- IT Alcohols
- Esters
- Ketones
- RL: NUU (Other use, unclassified); USES (Uses)
- (preparation of amorphous valganciclovir hydrochloride)
- IT 60-29-7, Diethyl ether, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 67-68-5, Dmso, uses 68-12-2, Dmf, uses 71-23-8, 1-Propanol, uses 71-36-3, 1-Butanol, uses 75-05-8, Acetonitrile, uses 78-93-3, Mek, uses 79-20-9, Methyl acetate 108-21-4, Isopropyl acetate 108-88-3, Toluene, uses 109-99-9, Thf, uses 127-19-5, N,N-Dimethylacetamide 141-78-6, Ethyl acetate, uses 1634-04-4, Mtbe 7732-18-5, Water, uses 10171-38-7, Ethoxymethanol
- RL: NUU (Other use, unclassified); USES (Uses)
- (preparation of amorphous valganciclovir hydrochloride)
- IT 175865-59-5, Valganciclovir hydrochloride
- RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
- (preparation of amorphous valganciclovir hydrochloride)
- L2 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN
- AB The invention is related to a process for the preparation of valganciclovir (I) and its pharmaceutically acceptable salts having a purity of at least 99% by weight by (a) reaction of ganciclovir or one of its salts with (2S)-2-azido-3-methylbutanoic acid or one of its salts or one of its activated derivative in the presence of a base; (b) conversion of protected derivative II [P1, P2, P4 = independently H, a protecting group] to III or one of its salts; (c) conversion of azide III to I, or optional conversion of II to I in a single step; (d) conversion of I to a first salt; (e) conversion the first salt of I to I; and (f) conversion of a first salt of I into a second salt of I. The invention is also related to a process of I and its pharmaceutically acceptable salts by (a) reaction of ganciclovir or one of its salts with (2S)-2-azido-3-methylbutanoic acid in the presence of a base to give bis-azide IV; (b) partial hydrolysis of IV; and (c) conversion of III to I or one of its salts. Thus, addition of 2-[2-(tritylamino)-1,6-dihydro-6-oxopurin-9-yl]methoxy]-3-trityloxypropan-1-ol (preparation given) to the activated (2S)-2-azido-3-methylbutanoic acid (preparation given) by DCC in DCM, followed by addition of DMAP and TEA and of the resulting of dicyclohexylurea (obtained as a byproduct from the activation of the acid), stirring the reaction mixture at 26° for about 17 h gave ditrityl protected derivative of III (V). Cleavage of the trityl groups in V and hydrogenation over Pd/C in ethanolic HCl gave amorphous I·HCl.
- IT 175865-59-5P, Valganciclovir hydrochloride

RL: IMF (Industrial manufacture); PRP (Properties); PREP (Preparation)  
(preparation of valganciclovir and its salts)

- L2 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Improved process for the preparation of amorphous valganciclovir hydrochloride
- AB The present invention relates process for the preparation of 2-(2-amino-1,6-dihydro-6-oxo-purin-9-yl)-methoxy-3-hydroxypropyl-L-valinate (valganciclovir). Ganciclovir is treated with a halosilane to give a silylated ganciclovir, which is further treated with Z-valine NCA to give N-benzyloxycarbonyl-L-valinate ester of ganciclovir. The above ester is deprotected by hydrogenation, isolating the title compound, dissolving it in a polar solvent, removing the solvent, and followed by work up to give pure amorphous valganciclovir hydrochloride.
- IT Polar solvents  
(process for preparation of amorphous valganciclovir hydrochloride)
- IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropyl alcohol, uses 67-64-1, Acetone, uses 67-66-3, Chloroform, uses 67-68-5, Dimethyl sulfoxide, uses 68-12-2, Dimethylformamide, uses 75-05-8, Acetonitrile, uses 75-09-2, Methylene chloride, uses 108-88-3, Toluene, uses 109-66-0, Pentane, uses 110-54-3, Hexane, uses 110-82-7, Cyclohexane, uses 141-78-6, Ethyl acetate, uses 142-82-5, Heptane, uses 1634-04-4, tert-Butyl methyl ether
- RL: NUU (Other use, unclassified); USES (Uses)  
(process for preparation of amorphous valganciclovir hydrochloride)
- IT 194154-40-0P  
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for preparation of amorphous valganciclovir hydrochloride)
- IT 175865-59-5P, Valganciclovir hydrochloride  
RL: PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(process for preparation of amorphous valganciclovir hydrochloride)
- IT 82410-32-0, Ganciclovir 158257-41-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(process for preparation of amorphous valganciclovir hydrochloride)
- IT 7647-01-0, Hydrochloric acid, reactions  
RL: RGT (Reagent); RACT (Reactant or reagent)  
(process for preparation of amorphous valganciclovir hydrochloride)
- L2 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Amorphous valganciclovir hydrochloride
- AB The present application relates to amorphous forms of valganciclovir salts such as the hydrochloride and processes for their preparation. Thus, valganciclovir hydrochloride (5.0 g) was dissolved in methanol (35 mL) at 40-45°C and the solution was filtered to remove any undissolved particle; the clear solution was spray dried at 75°C, 5.0 kg/cm<sup>2</sup> nitrogen pressure, at a rate of 6.0 mL per min; spray dryer was operated under closed loop nitrogen circulation with nitrogen as the drying and spraying medium with oxygen content less than 6 % in the inert loop; the material was recovered from cyclone chamber; yield: 3.0 g.
- ST valganciclovir hydrochloride amorphous vinylpyrrolidone cellulose polymer
- IT Amorphous structure  
Crystallinity

Distillation  
Evaporation  
Freeze drying  
(amorphous valganciclovir hydrochloride)

IT Polymers  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(amorphous valganciclovir hydrochloride)

IT Drying  
(oven; amorphous valganciclovir hydrochloride)

IT Drying  
(spray; amorphous valganciclovir hydrochloride)

IT 9003-39-8, N-Vinylpyrrolidone polymer 9004-34-6D, Cellulose, derivs.  
9004-57-3, Ethyl Cellulose 9004-65-3, Hydroxypropyl methyl cellulose  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(amorphous valganciclovir hydrochloride)

IT 175865-59-5, Valganciclovir hydrochloride  
RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(amorphous valganciclovir hydrochloride)

L2 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN

TI Stable amorphous valganciclovir hydrochloride  
AB The present invention relates to stable amorphous valganciclovir hydrochloride and process for the preparation of the same.

ST amorphous valganciclovir hydrochloride stability

IT Drying  
(spray; stable amorphous valganciclovir hydrochloride)

IT 60-29-7, Diethyl ether, uses 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Iso-propanol, uses 67-64-1, Acetone, uses 71-36-3, n-Butanol, uses 108-20-3, Diisopropyl ether 109-99-9, Tetrahydrofuran, uses 110-54-3, Hexane, uses 110-82-7, Cyclohexane, uses 141-78-6, Ethyl acetate, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(stable amorphous valganciclovir hydrochloride)

IT 124-38-9, Carbon dioxide, uses 7440-37-1, Argon, uses 7727-37-9, Nitrogen, uses  
RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)  
(stable amorphous valganciclovir hydrochloride)

IT 175865-59-5, Valganciclovir hydrochloride 175865-60-8, Valganciclovir  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(stable amorphous valganciclovir hydrochloride)

L2 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN

TI Processes for the preparation of solid dosage forms of amorphous valganciclovir hydrochloride  
AB The present invention relates to a process for the preparation of solid dosage forms of amorphous valganciclovir hydrochloride by a dry method.

IT Drug delivery systems  
(capsules; solid dosage forms of amorphous valganciclovir hydrochloride)

IT Drug delivery systems  
(granules; solid dosage forms of amorphous valganciclovir hydrochloride)

IT Lubricants  
(pharmaceutical; solid dosage forms of amorphous valganciclovir hydrochloride)

IT Binders

Compaction  
Fillers  
Gums and Mucilages  
Milling (size reduction)  
(solid dosage forms of amorphous valganciclovir hydrochloride)

IT Gelatins, biological studies  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(solid dosage forms of amorphous valganciclovir hydrochloride)

IT Drug delivery systems  
(solids; solid dosage forms of amorphous valganciclovir hydrochloride)

IT Drug delivery systems  
(tablets; solid dosage forms of amorphous valganciclovir hydrochloride)

IT 9003-39-8D, crosslinked  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Crospovidone; solid dosage forms of amorphous valganciclovir hydrochloride)

IT 9004-34-6, Cellulose, biological studies  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(microcryst.; solid dosage forms of amorphous valganciclovir hydrochloride)

IT 9003-39-8, Polyvinylpyrrolidone 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropyl methylcellulose 9005-25-8, Starch, biological studies  
RL: MOA (Modifier or additive use); POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(solid dosage forms of amorphous valganciclovir hydrochloride)

IT 50-70-4, Sorbitol, biological studies 50-99-7, Dextrose, biological studies 57-11-4, Stearic acid, biological studies 57-50-1, Sucrose, biological studies 63-42-3, Lactose 69-65-8, Mannitol 471-34-1, Calcium carbonate, biological studies 557-04-0, Magnesium stearate 4070-80-8, Sodium stearyl fumarate 9063-38-1, Sodium Starch glycolate 74811-65-7, Croscarmellose sodium  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(solid dosage forms of amorphous valganciclovir hydrochloride)

IT 175865-59-5, Valganciclovir hydrochloride  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(solid dosage forms of amorphous valganciclovir hydrochloride)

L2 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN

TI Preparation of an amorphous form of valganciclovir hydrochloride

AB The present invention relates to an amorphous form of valganciclovir-HCl and pharmaceutical compns. containing the compound. The amorphous form can be directly prepared by spray-drying or azeotropic distillation of the reaction mixture. The amorphous form is useful in treating viral infections, e.g., herpes simplex virus and cytomegalovirus. Thus, mono-CBZ-L-valine ganciclovir was dissolved in EtOH and treated with formic acid and Pd/C catalyst to give an amorphous form of valganciclovir hydrochloride.

ST valganciclovir hydrochloride amorphous prep

IT Alcohols, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(C1-5; preparation of amorphous form of valganciclovir hydrochloride)

IT Esters, uses  
Ethers, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(C1-6; preparation of amorphous form of valganciclovir hydrochloride)

IT Ketones, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(C1-7; preparation of amorphous form of valganciclovir hydrochloride)

IT Hydrogenation catalysts  
(Pd/C; preparation of amorphous form of valganciclovir hydrochloride)

IT Polar solvents  
(aprotic; preparation of amorphous form of valganciclovir hydrochloride)

IT Hydrocarbons, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(chloro; preparation of amorphous form of valganciclovir hydrochloride)

IT Ethers, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(cyclic, C1-6; preparation of amorphous form of valganciclovir hydrochloride)

IT Ketones, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(cyclic, C1-7; preparation of amorphous form of valganciclovir hydrochloride)

IT Solvents  
(organic; preparation of amorphous form of valganciclovir hydrochloride)

IT Antiviral agents  
Cytomegalovirus  
Human herpesvirus  
Hydrogenolysis  
(preparation of amorphous form of valganciclovir hydrochloride)

IT Aromatic hydrocarbons, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(preparation of amorphous form of valganciclovir hydrochloride)

IT Polar solvents  
(protic; preparation of amorphous form of valganciclovir hydrochloride)

IT Drying  
(spray; preparation of amorphous form of valganciclovir hydrochloride)

IT Distillation  
(vacuum; preparation of amorphous form of valganciclovir hydrochloride)

IT Infection  
(viral; preparation of amorphous form of valganciclovir hydrochloride)

IT 56-23-5, CC14, uses 60-29-7, Diethyl ether, uses 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 67-66-3, CHCl<sub>3</sub>, uses 67-68-5, DMSO, uses 68-12-2, DMF, uses 71-36-3, BuOH, uses 71-43-2, Benzene, uses 74-95-3, Methylene bromide 75-05-8, Acetonitrile, uses 75-09-2, Methylene chloride, uses 75-65-0, tert-Butanol, uses 78-83-1, Isobutanol, uses 78-92-2, sec-Butanol 78-93-3, Ethyl methyl ketone, uses 79-20-9, Methyl acetate 106-93-4, Ethylene bromide 107-06-2, Ethylene chloride, uses 107-31-3,

Methyl formate 108-10-1, Methyl isobutyl ketone 108-20-3, Diisopropyl ether 108-21-4, IsoPropyl acetate 108-83-8, Diisobutyl ketone 108-88-3, Toluene, uses 109-60-4, Propyl acetate 109-94-4, Ethyl formate 109-99-9, THF, uses 110-19-0, Isobutyl acetate 123-86-4, n-Butyl acetate 123-91-1, 1,4-Dioxane, uses 127-19-5, N,N-Dimethylacetamide 141-78-6, Ethyl acetate, uses 872-50-4, N-Methylpyrrolidone, uses 1330-20-7, Xylene, uses  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (preparation of amorphous form of valganciclovir hydrochloride)

IT 175865-59-5P, Valganciclovir hydrochloride  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amorphous form of valganciclovir hydrochloride)

IT 64-18-6, Formic acid, reactions 64-19-7, Acetic acid, reactions 127-09-3, Sodium acetate 141-53-7, Sodium formate 540-69-2, Ammonium formate 1333-74-0, Hydrogen, reactions 7647-01-0, HCl, reactions 194154-40-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of amorphous form of valganciclovir hydrochloride)

=> d 12 ibib hit abs 1-7

L2 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2010:1466581 CAPLUS  
 DOCUMENT NUMBER: 153:627116  
 TITLE: Preparation of amorphous valganciclovir hydrochloride  
 INVENTOR(S): Nalivela, Venu; Tummala, Arjun Kumar  
 PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Limited, India; Dr. Reddy's Laboratories, Inc.  
 SOURCE: U.S. Pat. Appl. Publ., 6pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| US 20100298564         | A1   | 20101125 | US 2010-785558  | 20100524   |
| PRIORITY APPLN. INFO.: |      |          | IN 2009-CH1206  | A 20090525 |
|                        |      |          | US 2009-291133P | P 20091230 |

#### ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

TI Preparation of amorphous valganciclovir hydrochloride  
 AB The present application relates to processes for the preparation amorphous valganciclovir hydrochloride, comprising combining a solution of valganciclovir with an antisolvent.  
 ST amorphous valganciclovir hydrochloride prepn  
 IT Antisolvents  
 Crystal morphology  
 Drying  
 Milling (size reduction)  
 Solvents  
 (preparation of amorphous valganciclovir hydrochloride)  
 IT Alcohols  
 Esters  
 Ketones  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (preparation of amorphous valganciclovir hydrochloride)  
 IT 60-29-7, Diethyl ether, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 67-68-5, Dmso, uses

68-12-2, Dmf, uses 71-23-8, 1-Propanol, uses 71-36-3, 1-Butanol, uses 75-05-8, Acetonitrile, uses 78-93-3, Mek, uses 79-20-9, Methyl acetate 108-21-4, Isopropyl acetate 108-88-3, Toluene, uses 109-99-9, Thf, uses 127-19-5, N,N-Dimethylacetamide 141-78-6, Ethyl acetate, uses 1634-04-4, Mtbe 7732-18-5, Water, uses 10171-38-7, Ethoxymethanol

RL: NUU (Other use, unclassified); USES (Uses)

(preparation of amorphous valganciclovir hydrochloride)

IT 175865-59-5, Valganciclovir hydrochloride

RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(preparation of amorphous valganciclovir hydrochloride)

AB The present application relates to processes for the preparation amorphous valganciclovir hydrochloride, comprising combining a solution of valganciclovir with an antisolvent.

L2 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:410525 CAPLUS

DOCUMENT NUMBER: 152:429986

TITLE: Preparation of valganciclovir and its salts from L-valine via esterification of ganciclovir or one of its derivatives with 2S)-2-azido-3-methylbutanoic acid

Padi, Pratap Reddy; Ramasamy, Vijaya Anand; Ireni, Babu; Karrothu, Srihari Babu; Ganta, Madhusudhan Reddy; Jonnada, Krishna; Polavarapu, Srinivas; Yaddanapudi, Venkata Madhavi; Haldar, Pranab; Vinigari, Krishna; Pagadala, Narasimha Rao; Vedantham, Ravindra; Kisara, Satyanarayana; Vetukuri, Venkata Naga Kali Varaprasada Raju; Suchitra, Sateesh Kamath; Shanmugam, Sakthivel; Medisetti, Rama Krishna Venkata; Manudhane, Kushal Surajmal

PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Ltd., India; Dr. Reddy's Laboratories, Inc.

SOURCE: PCT Int. Appl., 61pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2010036904   | A2   | 20100401 | WO 2009-US58397 | 20090925   |
| WO 2010036904   | A3   | 20100715 |                 |            |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW |      |          |                 |            |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  |      |          |                 |            |
| PRIORITY APPLN. INFO.:  |      |          | IN 2008-CH2375  | A 20080926 |
|   |      |          | US 2008-122200P | P 20081212 |
|   |      |          | IN 2009-CH159   | A 20090123 |
|   |      |          | IN 2009-CH289   | A 20090210 |
|   |      |          | US 2009-163089P | P 20090325 |
|   |      |          | US 2009-185025P | P 20090608 |

OTHER SOURCE(S): CASREACT 152:429986; MARPAT 152:429986

AB The invention is related to a process for the preparation of valganciclovir (I) and its pharmaceutically acceptable salts having a purity of at least 99% by weight by (a) reaction of ganciclovir or one of its salts with (2S)-2-azido-3-methylbutanoic acid or one of its salts or one of its activated derivative in the presence of a base; (b) conversion of protected derivative II [P1, P2, P4 = independently H, a protecting group] to III or one of its salts; (c) conversion of azide III to I, or optional conversion of II to I in a single step; (d) conversion of I to a first salt; (e) conversion the first salt of I to I; and (f) conversion of a first salt of I into a second salt of I. The invention is also related to a process of I and its pharmaceutically acceptable salts by (a) reaction of ganciclovir or one of its salts with (2S)-2-azido-3-methylbutanoic acid in the presence of a base to give bis-azide IV; (b) partial hydrolysis of IV; and (c) conversion of III to I or one of its salts. Thus, addition of 2-[2-(tritylamino)-1,6-dihydro-6-oxopurin-9-yl]methoxy]-3-trityloxypropan-1-ol (preparation given) to the activated (2S)-2-azido-3-methylbutanoic acid (preparation given) by DCC in DCM, followed by addition of DMAP and TEA and of the

resulting of dicyclohexylurea (obtained as a byproduct from the activation of the acid), stirring the reaction mixture at 26° for about 17 h gave ditrityl protected derivative of III (V). Cleavage of the trityl groups in V and hydrogenation over Pd/C in ethanolic HCl gave amorphous I·HCl.

IT 175865-59-5P, Valganciclovir hydrochloride

RL: IMF (Industrial manufacture); PRP (Properties); PREP (Preparation)  
(preparation of valganciclovir and its salts)

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention is related to a process for the preparation of valganciclovir (I) and its pharmaceutically acceptable salts having a purity of at least 99% by weight by (a) reaction of ganciclovir or one of its salts with (2S)-2-azido-3-methylbutanoic acid or one of its salts or one of its activated derivative in the presence of a base; (b) conversion of protected derivative II [P1, P2, P4 = independently H, a protecting group] to III or one of its salts; (c) conversion of azide III to I, or optional conversion of II to I in a single step; (d) conversion of I to a first salt; (e) conversion the first salt of I to I; and (f) conversion of a first salt of I into a second salt of I. The invention is also related to a process of I and its pharmaceutically acceptable salts by (a) reaction of ganciclovir or one of its salts with (2S)-2-azido-3-methylbutanoic acid in the presence of a base to give bis-azide IV; (b) partial hydrolysis of IV; and (c) conversion of III to I or one of its salts. Thus, addition of 2-[2-(tritylamino)-1,6-dihydro-6-oxopurin-9-yl]methoxy]-3-trityloxypropan-1-ol (preparation given) to the activated (2S)-2-azido-3-methylbutanoic acid (preparation given) by DCC in DCM, followed by addition of DMAP and TEA and of the

resulting of dicyclohexylurea (obtained as a byproduct from the activation of the acid), stirring the reaction mixture at 26° for about 17 h gave ditrityl protected derivative of III (V). Cleavage of the trityl groups in V and hydrogenation over Pd/C in ethanolic HCl gave amorphous I·HCl.

L2 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:121016 CAPLUS

DOCUMENT NUMBER: 153:295746

TITLE: Improved process for the preparation of  
amorphous valganciclovir hydrochloride

INVENTOR(S): Madhuresh Kumar, Sethi; Vijendra Singh, Rawat; Raja Krishna, Yerramalla; Debashish, Datta  
PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India  
SOURCE: Indian Pat. Appl., 18pp.  
CODEN: INXXBQ  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE     |
|------------------------|--|----------|-----------------|----------|
| IN 2008CH01738         | A  | 20100122 | IN 2008-CH1738  | 20080718 |
| PRIORITY APPLN. INFO.: |  |          | IN 2008-CH1738  | 20080718 |
| OTHER SOURCE(S):       | CASREACT 153:295746  |          |                 |          |
| TI                     | Improved process for the preparation of amorphous valganciclovir hydrochloride   |          |                 |          |
| AB                     | The present invention relates process for the preparation of 2-(2-amino-1,6-dihydro-6-oxo-purin-9-yl)-methoxy-3-hydroxypropyl-L-valinate (valganciclovir). Ganciclovir is treated with a halosilane to give a silylated ganciclovir, which is further treated with Z-valine NCA to give N-benzyloxycarbonyl-L-valinate ester of ganciclovir. The above ester is deprotected by hydrogenation, isolating the title compound, dissolving it in a polar solvent, removing the solvent, and followed by work up to give pure amorphous valganciclovir hydrochloride.                       |          |                 |          |
| IT                     | Polar solvents<br>(process for preparation of amorphous valganciclovir hydrochloride)  |          |                 |          |
| IT                     | 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropyl alcohol, uses 67-64-1, Acetone, uses 67-66-3, Chloroform, uses 67-68-5, Dimethyl sulfoxide, uses 68-12-2, Dimethylformamide, uses 75-05-8, Acetonitrile, uses 75-09-2, Methylene chloride, uses 108-88-3, Toluene, uses 109-66-0, Pentane, uses 110-54-3, Hexane, uses 110-82-7, Cyclohexane, uses 141-78-6, Ethyl acetate, uses 142-82-5, Heptane, uses 1634-04-4, tert-Butyl methyl ether<br>RL: NUU (Other use, unclassified); USES (Uses)<br>(process for preparation of amorphous valganciclovir hydrochloride) |          |                 |          |
| IT                     | 194154-40-0P<br>RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)<br>(process for preparation of amorphous valganciclovir hydrochloride)   |          |                 |          |
| IT                     | 175865-59-5P, Valganciclovir hydrochloride<br>RL: PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)<br>(process for preparation of amorphous valganciclovir hydrochloride)  |          |                 |          |
| IT                     | 82410-32-0, Ganciclovir 158257-41-1<br>RL: RCT (Reactant); RACT (Reactant or reagent)<br>(process for preparation of amorphous valganciclovir hydrochloride)   |          |                 |          |
| IT                     | 7647-01-0, Hydrochloric acid, reactions<br>RL: RGT (Reagent); RACT (Reactant or reagent)<br>(process for preparation of amorphous valganciclovir hydrochloride)  |          |                 |          |
| AB                     | The present invention relates process for the preparation of 2-(2-amino-1,6-dihydro-6-oxo-purin-9-yl)-methoxy-3-hydroxypropyl-L-valinate (valganciclovir). Ganciclovir is treated with a halosilane to give a silylated ganciclovir, which is further treated with Z-valine NCA to give N-benzyloxycarbonyl-L-valinate ester of ganciclovir. The above   |          |                 |          |

ester is deprotected by hydrogenation, isolating the title compound, dissolving it in a polar solvent, removing the solvent, and followed by work up to give pure amorphous valganciclovir hydrochloride.

L2 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2009:268661 CAPLUS  
DOCUMENT NUMBER: 150:267884  
TITLE: Amorphous valganciclovir hydrochloride  
INVENTOR(S): Devarakonda, Surya Narayana; Yerraguntla, Sesha Reddy; Nalivelal, Venu; Tummala, Arjun Kumar  
PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Limited, India; Dr. Reddy's Laboratories, Inc.  
SOURCE: U.S. Pat. Appl. Publ., 9 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND  | DATE     | APPLICATION NO. | DATE        |
|------------------------|-------|----------|-----------------|-------------|
| -----                  | ----- | -----    | -----           | -----       |
| US 20090062538         | A1    | 20090305 | US 2008-204949  | 20080905    |
| US 20100081809         | A1    | 20100401 | US 2009-607187  | 20091028    |
| PRIORITY APPLN. INFO.: |       |          | IN 2007-CH1996  | A 20070905  |
|                        |       |          | US 2008-54062P  | P 20080516  |
|                        |       |          | US 2008-204949  | B1 20080905 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

TI Amorphous valganciclovir hydrochloride  
AB The present application relates to amorphous forms of valganciclovir salts such as the hydrochloride and processes for their preparation. Thus, valganciclovir hydrochloride (5.0 g) was dissolved in methanol (35 mL) at 40–45°C and the solution was filtered to remove any undissolved particle; the clear solution was spray dried at 75°C, 5.0 kg/cm<sup>2</sup> nitrogen pressure, at a rate of 6.0 mL per min; spray dryer was operated under closed loop nitrogen circulation with nitrogen as the drying and spraying medium with oxygen content less than 6 % in the inert loop; the material was recovered from cyclone chamber; yield: 3.0 g.  
ST valganciclovir hydrochloride amorphous vinylpyrrolidone cellulose polymer  
IT Amorphous structure  
Crystallinity  
Distillation  
Evaporation  
Freeze drying  
(amorphous valganciclovir hydrochloride)  
IT Polymers  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(amorphous valganciclovir hydrochloride)  
IT Drying  
(oven; amorphous valganciclovir hydrochloride)  
IT Drying  
(spray; amorphous valganciclovir hydrochloride)  
IT 9003-39-8, N-Vinylpyrrolidone polymer 9004-34-6D, Cellulose, derivs.  
9004-57-3, Ethyl Cellulose 9004-65-3, Hydroxypropyl methyl cellulose  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(amorphous valganciclovir hydrochloride)  
IT 175865-59-5, Valganciclovir hydrochloride  
RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(amorphous valganciclovir hydrochloride)

AB The present application relates to amorphous forms of valganciclovir salts such as the hydrochloride and processes for their preparation. Thus, valganciclovir hydrochloride (5.0 g) was dissolved in methanol (35 mL) at 40–45°C and the solution was filtered to remove any undissolved particle; the clear solution was spray dried at 75°C, 5.0 kg/cm<sup>2</sup> nitrogen pressure, at a rate of 6.0 mL per min; spray dryer was operated under closed loop nitrogen circulation with nitrogen as the drying and spraying medium with oxygen content less than 6 % in the inert loop; the material was recovered from cyclone chamber; yield: 3.0 g.

L2 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2007:1010681 CAPLUS  
DOCUMENT NUMBER: 148:39550  
TITLE: Stable amorphous valganciclovir hydrochloride  
INVENTOR(S): Gade, Sanjay; Yadav, Sushil  
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
SOURCE: Indian Pat. Appl., 23pp.  
CODEN: INXXBQ  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.                                     | KIND   | DATE     | APPLICATION NO. | DATE     |
|--|--|----------|-----------------|----------|
| IN 2005DE01697                                 | A  | 20070831 | IN 2005-DE1697  | 20050630 |
| PRIORITY APPLN. INFO.: IN 2005-DE1697 20050630 |  |          |                 |          |
| TI   | Stable amorphous valganciclovir hydrochloride  |          |                 |          |
| AB   | The present invention relates to stable amorphous valganciclovir hydrochloride and process for the preparation of the same.  |          |                 |          |
| ST   | amorphous valganciclovir hydrochloride stability   |          |                 |          |
| IT   | Drying<br>(spray; stable amorphous valganciclovir hydrochloride)   |          |                 |          |
| IT   | 60-29-7, Diethyl ether, uses 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Iso-propanol, uses 67-64-1, Acetone, uses 71-36-3, n-Butanol, uses 108-20-3, Diisopropyl ether 109-99-9, Tetrahydrofuran, uses 110-54-3, Hexane, uses 110-82-7, Cyclohexane, uses 141-78-6, Ethyl acetate, uses |          |                 |          |
| IT   | RL: NUU (Other use, unclassified); USES (Uses)<br>(stable amorphous valganciclovir hydrochloride)  |          |                 |          |
| IT   | 124-38-9, Carbon dioxide, uses 7440-37-1, Argon, uses 7727-37-9, Nitrogen, uses  |          |                 |          |
| IT   | RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)<br>(stable amorphous valganciclovir hydrochloride)   |          |                 |          |
| IT   | 175865-59-5, Valganciclovir hydrochloride 175865-60-8, Valganciclovir  |          |                 |          |
| IT   | RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)<br>(stable amorphous valganciclovir hydrochloride)   |          |                 |          |
| AB   | The present invention relates to stable amorphous valganciclovir hydrochloride and process for the preparation of the same.  |          |                 |          |

L2 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2005:1021592 CAPLUS  
DOCUMENT NUMBER: 143:311935  
TITLE: Processes for the preparation of solid dosage forms of amorphous valganciclovir hydrochloride  
INVENTOR(S): Singh, Romi Barat; Nagaprasad, Vishnubhotla; Singh, Nidhi  
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 16 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| WO 2005087198  | A1   | 20050922 | WO 2005-IB615   | 20050310   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,<br>CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,<br>GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,<br>NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,<br>SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,<br>RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,<br>MR, NE, SN, TD, TG   |      |          |                 |            |
| IN 2004DE00410   | A    | 20060922 | IN 2004-DE410   | 20040310   |
| EP 1725217   | A1   | 20061129 | EP 2005-708710  | 20050310   |
| EP 1725217   | B1   | 20080806 |                 |            |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,<br>IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,<br>HR, LV, MK, YU  |      |          |                 |            |
| AT 403418  | T    | 20080815 | AT 2005-708710  | 20050310   |
| IN 2006DN05544   | A    | 20070803 | IN 2006-DN5544  | 20060922   |
| US 20070292499   | A1   | 20071220 | US 2007-598546  | 20070604   |
| PRIORITY APPLN. INFO.:   |      |          | IN 2004-DE410   | A 20040310 |
|  |      |          | WO 2005-IB615   | W 20050310 |

#### ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

- TI Processes for the preparation of solid dosage forms of amorphous valganciclovir hydrochloride
- AB The present invention relates to a process for the preparation of solid dosage forms of amorphous valganciclovir hydrochloride by a dry method.
- IT Drug delivery systems  
(capsules; solid dosage forms of amorphous valganciclovir hydrochloride)
- IT Drug delivery systems  
(granules; solid dosage forms of amorphous valganciclovir hydrochloride)
- IT Lubricants  
(pharmaceutical; solid dosage forms of amorphous valganciclovir hydrochloride)
- IT Binders  
Compaction  
Fillers  
Gums and Mucilages  
Milling (size reduction)  
(solid dosage forms of amorphous valganciclovir hydrochloride)
- IT Gelatins, biological studies  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(solid dosage forms of amorphous valganciclovir hydrochloride)
- IT Drug delivery systems  
(solids; solid dosage forms of amorphous valganciclovir hydrochloride)
- IT Drug delivery systems

(tablets; solid dosage forms of amorphous valganciclovir hydrochloride)

IT 9003-39-8D, crosslinked  
 RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Crosppovidone; solid dosage forms of amorphous valganciclovir hydrochloride)

IT 9004-34-6, Cellulose, biological studies  
 RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (microcryst.; solid dosage forms of amorphous valganciclovir hydrochloride)

IT 9003-39-8, Polyvinylpyrrolidone 9004-64-2, Hydroxypropyl cellulose  
 9004-65-3, Hydroxypropyl methylcellulose 9005-25-8, Starch, biological studies  
 RL: MOA (Modifier or additive use); POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (solid dosage forms of amorphous valganciclovir hydrochloride)

IT 50-70-4, Sorbitol, biological studies 50-99-7, Dextrose, biological studies  
 57-11-4, Stearic acid, biological studies 57-50-1, Sucrose, biological studies 63-42-3, Lactose 69-65-8, Mannitol 471-34-1, Calcium carbonate, biological studies 557-04-0, Magnesium stearate 4070-80-8, Sodium stearyl fumarate 9063-38-1, Sodium Starch glycolate 74811-65-7, Croscarmellose sodium  
 RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (solid dosage forms of amorphous valganciclovir hydrochloride)

IT 175865-59-5, Valganciclovir hydrochloride  
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (solid dosage forms of amorphous valganciclovir hydrochloride)

AB The present invention relates to a process for the preparation of solid dosage forms of amorphous valganciclovir hydrochloride by a dry method.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2005:219795 CAPLUS  
 DOCUMENT NUMBER: 142:303610  
 TITLE: Preparation of an amorphous form of valganciclovir hydrochloride  
 INVENTOR(S): Sharma, Mukesh Kumar; Kumar, Yatendra; Khanduri, Chandra Has  
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
 SOURCE: PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 2005021549  | A1   | 20050310 | WO 2004-IB2789  | 20040827 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, |      |          |                 |          |

|  |             |                  |            |
|--|-------------|------------------|------------|
| NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,<br>TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  |             |                  |            |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,<br>EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,<br>SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,<br>SN, TD, TG |             |                  |            |
| CA 2537132   | A1 20050310 | CA 2004-2537132  | 20040827   |
| EP 1660499   | A1 20060531 | EP 2004-769205   | 20040827   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR   |             |                  |            |
| BR 2004013331  | A 20061010  | BR 2004-13331    | 20040827   |
| CN 1860120   | A 20061108  | CN 2004-80028582 | 20040827   |
| US 20070129385   | A1 20070607 | US 2006-569615   | 20061211   |
| PRIORITY APPLN. INFO.:   |             | IN 2003-DE1052   | A 20030828 |
|  |             | WO 2004-IB2789   | W 20040827 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

TI Preparation of an amorphous form of valganciclovir hydrochloride  
 AB The present invention relates to an amorphous form of valganciclovir-HCl and pharmaceutical compns. containing the compound. The amorphous form can be directly prepared by spray-drying or azeotropic distillation of the reaction mixture. The amorphous form is useful in treating viral infections, e.g., herpes simplex virus and cytomegalovirus. Thus, mono-CBZ-L-valine ganciclovir was dissolved in EtOH and treated with formic acid and Pd/C catalyst to give an amorphous form of valganciclovir hydrochloride.  
 ST valganciclovir hydrochloride amorphous prepn  
 IT Alcohols, uses  
   RL: NUU (Other use, unclassified); USES (Uses)  
     (C1-5; preparation of amorphous form of valganciclovir hydrochloride)  
 IT Esters, uses  
   Ethers, uses  
   RL: NUU (Other use, unclassified); USES (Uses)  
     (C1-6; preparation of amorphous form of valganciclovir hydrochloride)  
 IT Ketones, uses  
   RL: NUU (Other use, unclassified); USES (Uses)  
     (C1-7; preparation of amorphous form of valganciclovir hydrochloride)  
 IT Hydrogenation catalysts  
   (Pd/C; preparation of amorphous form of valganciclovir hydrochloride)  
 IT Polar solvents  
   (aprotic; preparation of amorphous form of valganciclovir hydrochloride)  
 IT Hydrocarbons, uses  
   RL: NUU (Other use, unclassified); USES (Uses)  
     (chloro; preparation of amorphous form of valganciclovir hydrochloride)  
 IT Ethers, uses  
   RL: NUU (Other use, unclassified); USES (Uses)  
     (cyclic, C1-6; preparation of amorphous form of valganciclovir hydrochloride)  
 IT Ketones, uses  
   RL: NUU (Other use, unclassified); USES (Uses)  
     (cyclic, C1-7; preparation of amorphous form of valganciclovir hydrochloride)  
 IT Solvents  
   (organic; preparation of amorphous form of valganciclovir hydrochloride)  
 IT Antiviral agents

Cytomegalovirus  
Human herpesvirus  
Hydrogenolysis  
(preparation of amorphous form of valganciclovir hydrochloride)

IT Aromatic hydrocarbons, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(preparation of amorphous form of valganciclovir hydrochloride)

IT Polar solvents  
(protic; preparation of amorphous form of valganciclovir hydrochloride)

IT Drying  
(spray; preparation of amorphous form of valganciclovir hydrochloride)

IT Distillation  
(vacuum; preparation of amorphous form of valganciclovir hydrochloride)

IT Infection  
(viral; preparation of amorphous form of valganciclovir hydrochloride)

IT 56-23-5, CC14, uses 60-29-7, Diethyl ether, uses 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 67-66-3, CHCl<sub>3</sub>, uses 67-68-5, DMSO, uses 68-12-2, DMF, uses 71-36-3, BuOH, uses 71-43-2, Benzene, uses 74-95-3, Methylene bromide 75-05-8, Acetonitrile, uses 75-09-2, Methylene chloride, uses 75-65-0, tert-Butanol, uses 78-83-1, Isobutanol, uses 78-92-2, sec-Butanol 78-93-3, Ethyl methyl ketone, uses 79-20-9, Methyl acetate 106-93-4, Ethylene bromide 107-06-2, Ethylene chloride, uses 107-31-3, Methyl formate 108-10-1, Methyl isobutyl ketone 108-20-3, Diisopropyl ether 108-21-4, IsoPropyl acetate 108-83-8, Diisobutyl ketone 108-88-3, Toluene, uses 109-60-4, Propyl acetate 109-94-4, Ethyl formate 109-99-9, THF, uses 110-19-0, Isobutyl acetate 123-86-4, n-Butyl acetate 123-91-1, 1,4-Dioxane, uses 127-19-5, N,N-Dimethylacetamide 141-78-6, Ethyl acetate, uses 872-50-4, N-Methylpyrrolidone, uses 1330-20-7, Xylene, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(preparation of amorphous form of valganciclovir hydrochloride)

IT 175865-59-5P, Valganciclovir hydrochloride  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of amorphous form of valganciclovir hydrochloride)

IT 64-18-6, Formic acid, reactions 64-19-7, Acetic acid, reactions 127-09-3, Sodium acetate 141-53-7, Sodium formate 540-69-2, Ammonium formate 1333-74-0, Hydrogen, reactions 7647-01-0, HCl, reactions 194154-40-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of amorphous form of valganciclovir hydrochloride)

AB The present invention relates to an amorphous form of valganciclovir-HCl and pharmaceutical compns. containing the compound. The amorphous form can be directly prepared by spray-drying or azeotropic distillation of the reaction mixture. The amorphous form is useful in treating viral infections, e.g., herpes simplex virus and cytomegalovirus. Thus, mono-CBZ-L-valine ganciclovir was dissolved in EtOH and treated with formic acid and Pd/C catalyst to give an amorphous form of valganciclovir hydrochloride.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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